```
=> s astemizole/cn
L1            1 ASTEMIZOLE/CN

=> d

L1   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   68844-77-9  REGISTRY
ED   Entered STN:  16 Nov 1984
CN   1H-Benzimidazol-2-amine, 1-[(4-fluorophenyl)methyl]-N-[1-[2-(4-
     methoxyphenyl)ethyl]-4-piperidinyl]- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   Astemisan
CN   Astemizole
CN   Hismanal
CN   Histamen
CN   Histaminos
CN   Histazol
CN   Kelp
CN   Laridal
CN   Metodik
CN   Novo-Nastizol A
CN   NSC 329963
CN   Paralergin
CN   R 42512
CN   R 43512
CN   Retolen
CN   Waruzol
MF   C28 H31 F N4 O
CI   COM
LC   STN Files:   ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO,
       CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU,
       DRUGU, EMBASE, HSDB*, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA,
       MEDLINE, MRCK*, MSDS-OHS, PHAR, PROMT, PROUSDDR, PS, RTECS*, SCISEARCH,
       SPECINFO, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
         (*File contains numerically searchable property data)
     Other Sources:   EINECS**, WHO
         (**Enter CHEMLIST File for up-to-date regulatory information)
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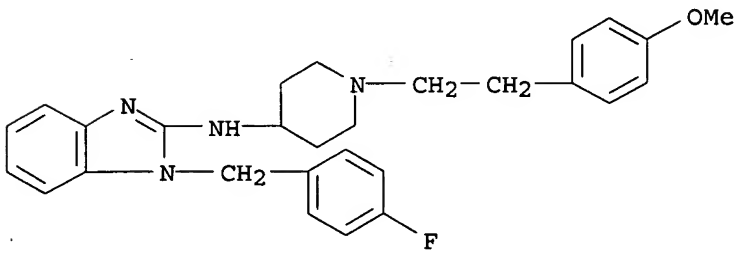


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

             619 REFERENCES IN FILE CA (1907 TO DATE)
              17 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
             622 REFERENCES IN FILE CAPLUS (1907 TO DATE)


=> s chlorpheniramine/cn
L2            1 CHLORPHENIRAMINE/CN

=> d
```
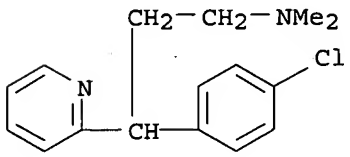

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 132-22-9 REGISTRY
ED Entered STN: 16 Nov 1984
CN 2-Pyridinepropanamine, γ-(4-chlorophenyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Pyridine, 2-[p-chloro-α-[2-(dimethylamino)ethyl]benzyl]- (8CI)
OTHER NAMES:
CN (±)-Chloropheniramine
CN (±)-Chlorpheniramine
CN γ-(4-Chlorophenyl)-γ-(2-pyridyl)propyldimethylamine
CN 1-(p-Chlorophenyl)-1-(2-pyridyl)-3-dimethylaminopropane
CN 2-[p-Chloro-α-[2-(dimethylamino)ethyl]benzyl]pyridine
CN 3-(p-Chlorophenyl)-3-(2-pyridyl)-N,N-dimethylpropylamine
CN 4-Chloropheniramine
CN Allergican
CN Chlorophenamine
CN Chloropheniramine
CN Chlorophenylpyridamine
CN Chloroprophenpyridamine
CN Chlorphenamine
CN Chlorpheniramine
CN Chlorprophenpyridamine
CN dl-1-(p-Chlorophenyl)-1-(2-pyridyl)-3-(dimethylamino)propane
CN Haynon
CN RS-Chlorpheniramine
FS 3D CONCORD
DR 42882-96-2, 46970-45-0
MF C16 H19 Cl N2
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DRUGU, EMBASE, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, PHAR, PROMT, PS, RTECS*, SPECINFO, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: EINECS**, NDSL**, TSCA**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

810 REFERENCES IN FILE CA (1907 TO DATE)
26 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
813 REFERENCES IN FILE CAPLUS (1907 TO DATE)
7 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

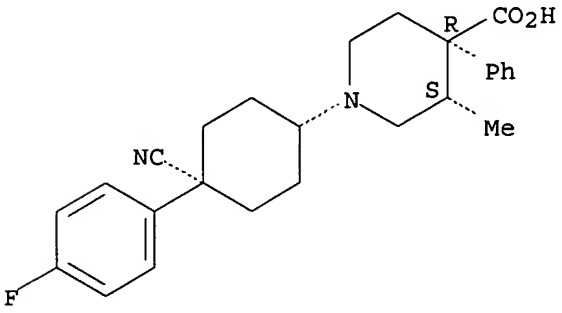
=> s levocabastine/cn
L3 1 LEVOCABASTINE/CN

=> d

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 79516-68-0 REGISTRY

ED Entered STN: 16 Nov 1984
CN 4-Piperidinecarboxylic acid, 1-[cis-4-cyano-4-(4-fluorophenyl)cyclohexyl]-
    3-methyl-4-phenyl-, (3S,4R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 4-Piperidinecarboxylic acid, 1-[4-cyano-4-(4-fluorophenyl)cyclohexyl]-3-
    methyl-4-phenyl-, [3S-[1(cis),3α,4β]]-
OTHER NAMES:
CN Levocabastine
CN Levophta
CN R 50547
FS STEREOSEARCH
MF C26 H29 F N2 O2
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, ANABSTR, BEILSTEIN*, BIOSIS,
      BIOTECHNO, CA, CAPLUS, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE,
      IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PROMT,
      PROUSDDR, PS, SCISEARCH, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
        (*File contains numerically searchable property data)
    Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

          196 REFERENCES IN FILE CA (1907 TO DATE)
            5 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
          196 REFERENCES IN FILE CAPLUS (1907 TO DATE)

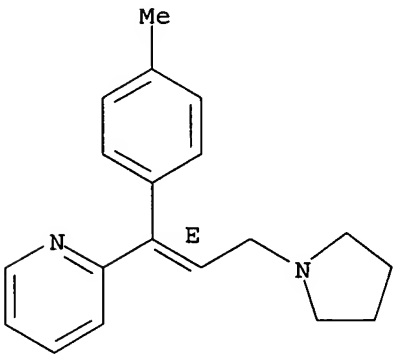
=> s triprolidine/cn
L4   1 TRIPROLIDINE/CN

=> d

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 486-12-4 REGISTRY
ED Entered STN: 16 Nov 1984
CN Pyridine, 2-[(1E)-1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]- (9CI)
    (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Pyridine, 2-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-, (E)-
CN Pyridine, 2-[3-(1-pyrrolidinyl)-1-p-tolylpropenyl]-, (E)- (8CI)
OTHER NAMES:
CN trans-1-(2-Pyridyl)-3-pyrrolidino-1-p-tolylprop-1-ene
CN trans-1-(4-Methylphenyl)-1-(2-pyridyl)-3-pyrrolidinoprop-1-ene
CN trans-2-[3-(1-Pyrrolidinyl)-1-p-tolypropenyl]pyridine
CN Triprolidin
CN Triprolidine

CN Tripyrolidine
FS STEREOSEARCH
MF C19 H22 N2
CI COM
LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAOLD, CAPLUS, CHEMCATS, CHEMLIST, CIN, CSCHEM, DDFU, DRUGU, EMBASE, HSDB*, IFICDB, IFIUDB, IPA, MEDLINE, MRCK*, PROMT, PS, RTECS*, SPECINFO, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**, WHO
(**Enter CHEMLIST File for up-to-date regulatory information)

Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

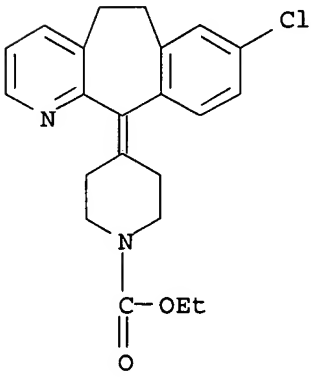
429 REFERENCES IN FILE CA (1907 TO DATE)
10 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
430 REFERENCES IN FILE CAPLUS (1907 TO DATE)
3 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> s loratidine/cn
L5 1 LORATIDINE/CN

=> d

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 79794-75-5 REGISTRY
ED Entered STN: 16 Nov 1984
CN 1-Piperidinecarboxylic acid, 4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)-, ethyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 11H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 1-piperidinecarboxylic acid deriv.
OTHER NAMES:
CN Alavert
CN Anhissen
CN Bonalerg
CN Civeran
CN Claratyne
CN Claritin
CN Claritine
CN Clarityn
CN Claritytne

CN Cronopen
CN Flonidan
CN Fristamin
CN Histaloran
CN Klaritin
CN Lertamine
CN Lisino
CN Loracert
CN Loradex
CN Loranox
CN Lorastine
CN Loratadine
CN Loratidine
CN Loratyne
CN Lorfast
CN Lowadina
CN Optimin
CN Polaratyne
CN Pylor
CN Restamine
CN Sch 29851
CN Sensibit
CN Sohotin
CN Tadine
CN Velodan
CN Zeos
MF C22 H23 Cl N2 O2
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE, HSDB*, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PIRA, PROMT, PROUSDDR, PS, RTECS*, SCISEARCH, SPECINFO, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: WHO



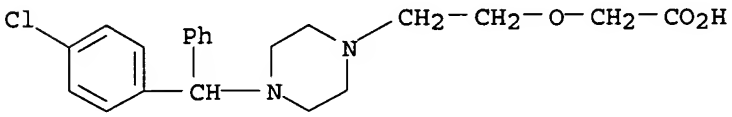
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

911 REFERENCES IN FILE CA (1907 TO DATE)
21 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
915 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s cetirizine/cn
L6 1 CETIRIZINE/CN

=> d

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 83881-51-0 REGISTRY
ED Entered STN: 16 Nov 1984
CN Acetic acid, [2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]-
(9CI) (CA INDEX NAME)
OTHER NAMES:
CN (±)-Cetirizine
CN Cetirizine
FS 3D CONCORD
DR 130018-86-9
MF C21 H25 Cl N2 O3
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, DDFU, DRUGU, EMBASE, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PHAR, PROMT, PROUSDDR, PS, RTECS*, SCISEARCH, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL, VETU
(*File contains numerically searchable property data)
Other Sources: WHO



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

765 REFERENCES IN FILE CA (1907 TO DATE)
17 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
769 REFERENCES IN FILE CAPLUS (1907 TO DATE)

AN 2002:190182 CAPLUS
TI Design and synthesis of novel dual histamine H1/H3 receptor antagonists based on the H1 receptor antagonist chlorpheniramine
AU Aslanian, Robert; Mutahi, Mwangi W.; Tom, Wing; Shih, Neng-Yang; Piwinski, John J.; West, Robert; Williams, Shirley M.; She, Susan
CS Department of Chemical Research, Schering Plough Research Institute, Kenilworth, NJ, 07033, USA
SO Abstracts of Papers, 223rd ACS National Meeting, Orlando, FL, United States, April 7-11, 2002 (2002), MEDI-063 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69CKQP
DT Conference; Meeting Abstract
LA English
TI Design and synthesis of novel dual histamine H1/H3 receptor antagonists based on the H1 receptor antagonist chlorpheniramine
AB Allergic rhinitis is a disease characterized by sneezing, rhinorrhia, pruritus, and nasal congestion. H1 antihistamines are effective at treating the first three symptoms, but are ineffective at treating nasal congestion. To improve their therapeutic profile, H1 antihistamines have been combined with α-agonist decongestants such as pseudoephedrine or phenylpropanolamine. However, α-agonists are contraindicated in individuals with cardiovascular or prostatic disease. Therefore, new methods for treating nasal congestion are desirable. Recent work has demonstrated that concurrent administration of a selective H1 antagonist with a selective H3 antagonist is decongesting in a histamine-driven cat model of nasal congestion. In light of this data, we set out to determine if a single chemical entity could be designed that would inhibit both the H1 and H3 receptors simultaneously. This paper will describe the discovery of novel dual antagonists of the histamine H1 and H3 receptors based on the selective H1 antagonist chlorpheniramine.

12167505 PMID: 10582118

Combined histamine H1 and H3 receptor blockade produces nasal decongestion in an experimental model of nasal congestion.

McLeod R L; Mingo G G; Herczku C; DeGennaro-Culver F; Kreutner W; Egan R W; Hey J A

Allergy Department, Schering-Plough Research Institute, Kenilworth, NJ 07033-0539, USA.

American journal of rhinology (UNITED STATES) Sep-Oct 1999, 13 (5) p391-9, ISSN 1050-6586--Print Journal Code: 8807268

Publishing Model Print

Document type: Journal Article

Languages: ENGLISH

Main Citation Owner: NLM

Record type: MEDLINE; Completed

Subfile: INDEX MEDICUS; Toxbib

We studied the pharmacological actions of combined histamine H1/H3 receptor blockade on the increase in nasal airway resistance (NAR) and decrease in nasal cavity volume produced by nasal exposure to compound 48/80, a mast cell degranulator. In the anesthetized cat compound 48/80 (1%) produced a maximum increase in NAR of 9.1 +/- 0.7 cmH20.L/minute. The increase in NAR in animals pretreated with a ***combination*** of the H1 antagonist, chlorpheniramine (CTM; 0.8 mg/kg i.v.) and increasing doses of the H3 antagonist, thioperamide (THIO; 1.0, 3.0, and 10.0 mg/kg i.v.) were 6.1 +/- 2.1, 4.2 +/- 1.0 and 2.2 +/- 0.7 cmH20.L/minute, respectively. A second H3 antagonist, clobenpropit (CLOB; 0.03, 0.3, and 1.0 mg/kg i.v.) ***combined*** with CTM (0.8 mg/kg i.v.) also inhibited the nasal effects of compound 48/80. When the nonsedating H1 antihistamine, loratadine (3.0 mg/kg i.v.), was substituted for CTM, it also reduced nasal congestion when given in combination with THIO (10 mg/kg i.v.). In contrast, treatment with CTM (1.0 mg/kg i.v.) and the H2 antagonist, ranitidine (RAN; 1.0 mg/kg i.v.) were without activity. Loratadine, CTM, CLOB, RAN, or THIO administered alone were inactive. The alpha-adrenergic agonist, phenylpropanolamine (PPA; 1.0 mg/kg i.v.) demonstrated decongestant effects, but in contrast to H1/H3 blockade, PPA produced a significant hypertensive effect. Using acoustic rhinometry (AcR) we found that ***combined*** i.v. CTM (1.0 mg/kg) and THIO (10 mg/kg) and combined oral CTM (10 mg/kg) and THIO (30 mg/kg) blocked the decrease in nasal cavity volume produced by intranasal compound 48/80 (1%, 50 microL). We conclude that ***combined*** ***H1*** / ***H3*** histamine receptor blockade enhances the efficacy of an H1 antagonist by conferring decongestant activity to the H1 antihistamine. We propose that the decongestant activity of combined H1/H3 blockade may provide a novel approach for the treatment of allergic nasal congestion without the hypertensive liability of current therapies.

Tags: Male

Descriptors: *Chlorpheniramine--therapeutic use--TU; *Disease Models, Animal; *Histamine Antagonists--therapeutic use--TU; *Histamine H1 Antagonists--therapeutic use--TU; *Nasal Decongestants--therapeutic use--TU ; *Nasal Obstruction--drug therapy--DT; *Piperidines--therapeutic use--TU; Airway Resistance--drug effects--DE; Animals; Cats; Drug Evaluation, Preclinical; Drug Therapy, Combination; Histamine Release --drug effects--DE; Nasal Cavity--drug effects--DE; Nasal Cavity--pathology--PA; Nasal Obstruction--chemically induced--CI; Nasal Obstruction --physiopathology--PP; Nose--drug effects--DE; Nose--physiopathology--PP; p-Methoxy-N-methylphenethylamine

CAS Registry Number: 0 (Histamine Antagonists); 0 (Histamine H1 Antagonists); 0 (Nasal Decongestants); 0 (Piperidines); 106243-16-7 (thioperamide); 132-22-9 (Chlorpheniramine); 4091-50-3 (p-Methoxy-N-met hylphenethylamine)

Record Date Created: 19991223

Record Date Completed: 19991223

13830654 PMID: 12113214
Histamine in health and disease.
Repka-Ramirez M Susana; Baraniuk James N
Georgetown University, Washington, DC, USA.
Clinical allergy and immunology (United States) 2002, 17 p1-25,
ISSN 1075-7910--Print Journal Code: 9431211
Contract/Grant No.: AI42403; AI; NIAID
Publishing Model Print
Document type: Journal Article; Review
Languages: ENGLISH
Main Citation Owner: NLM
Record type: MEDLINE; Completed
Subfile: INDEX MEDICUS
Histamine is a potent vasoactive agent, bronchial smooth muscle constrictor, and stimulant of nociceptive itch nerves. Activation of H1-receptors plays a central role in the immediate allergic reaction, but has less of an impact in chronic allergic disorders where inflammatory infiltrates, additional mediators such as LTC4/D4/E4 and cytokines, and structural remodeling occur. Histamine, through its H1-receptor-mediated activities, appears to be primarily a proinflammatory agent, yet it does have some homeostatic functions in gastric acid production (H2-receptors) and the central nervous system (predominantly H3-receptors) (97, 98). The realization that first-generation antihistamines often had mixed pharmacological properties (e.g., anticholinergic actions) and crossed the blood-brain barrier led to the development of the second-generation drugs, which are more selective for H1-receptors, have less access to the central nervous system, and, therefore, a more favorable benefit-to-risk ratio (therapeutic index). The potential for combined H1-H3-antagonists remains to be fully explored, but offers another exciting opportunity for this ever-expanding family of beneficial drugs. (98 Refs.)
Descriptors: *Histamine--physiology--PH; Animals; Asthma--etiology --ET; Common Cold--etiology--ET; Endothelium, Vascular--cytology--CY; Histamine Release; Humans; Hypersensitivity--etiology--ET; Immunoglobulin E --immunology--IM; Research Support, U.S. Gov't, Non-P.H.S.; Research Support, U.S. Gov't, P.H.S.; Rhinitis, ***Allergic*** , Seasonal--etiology --ET; Urticaria--etiology--ET
CAS Registry No.: 37341-29-0 (Immunoglobulin E); 51-45-6 (Histamine)
Record Date Created: 20020712
Record Date Completed: 20020731